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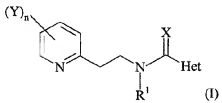
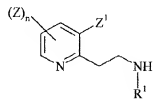
(54) New fungicidal compounds

(57) Compound of general formula (I):



(I)

Process for preparing this compound.
Novel intermediate of general formula (E):



(E)

for the preparation of compound of general formula (I)
Fungicidal composition comprising a compound of general formula (I).
Method for treating plants by applying a compound of general formula (I) or a composition comprising it.

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Description

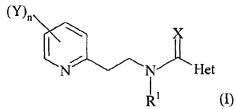
[0001] The present invention relates to novel N-[2-(2-pyridinyl)ethyl]carboxamides derivatives, their process of preparation, their use as fungicides, particularly in the form of fungicidal compositions, and methods for the control of phytopathogenic fungi of plants using these compounds or their compositions.

[0002] The international patent application WO 01/11965 discloses a broad family of fungicidal compounds which generically covers the compounds according to the present invention. Nevertheless, the compounds according to the present invention are not specifically disclosed in this document and their activity as fungicides has not been tested.

[0003] It is always of high-interest in agriculture to use pesticidal compounds more active than the compounds already known by the man ordinary skilled in the art in order to decrease the quantity of active ingredient used by the farmer as to maintain an efficacy at least equivalent to compounds already known.

[0004] We have now found a new family of compounds selected in a broad family of compounds which possess the above mentioned characteristics.

[0005] Accordingly, the present invention relates to N-[2-(2-pyridinyl)ethyl]carboxamide derivative of general formula (1):



(I)

in which :

- X may be an oxygen atom or a sulphur atom;
- Y may be the same or different and may be a halogen atom, a nitro group, a cyano group, a hydroxy, an amino group, a carboxyl group, a C_1-C_8-alkyl, a C_1-C_8-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_8-alkylamino, a di-C_1-C_8-alkylamino, a C_1-C_8-alkoxy, a C_1-C_8-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_8-alkylthio, a C_1-C_8-halogenoalkylthio having 1 to 5 halogen atoms, a C_2-C_8-alkenyloxy, a C_2-C_8-halogenoalkenyloxy having 1 to 5 halogen atoms, a C_3-C_8-alkinyloxy, a C_3-C_8-halogenoalkinyloxy having 1 to 5 halogen atoms, a C_3-C_8-cycloalkyl, a C_1-C_8-alkoxycarbonyl, a C_1-C_8-alkylsulphinyl, a C_1-C_8-alkylsulphonyl, a C_1-C_8-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C_1-C_8-halogenoalkylsulphonyl having 1 to 5 halogen atoms or a C_1-C_8-alkoxy-imino-C_1-C_8-alkyl;
- R^1 may be a hydrogen atom, a cyano group, a nitro group, a formyl group, a C_1-C_6-alkyl, a C_1-C_6-alkylcarbamoyl, a C_2-C_6-alkenyl, a C_2-C_6-alkynyl, a C_1-C_6-halogenoalkyl having 1 to 7 halogen atoms, a C_1-C_6-alkoxy-C_1-C_6-alkyl, a C_1-C_6-cyanalkyl, a C_1-C_6-aminoalkyl, a C_3-C_6-cycloalkyl, a C_1-C_6-alkylcarbonyl, a C_1-C_6-halogenalkylcarbonyl having 1 to 5 halogen atoms, a C_1-C_6-alkoxy-C_1-C_6-alkylcarbonyl, a C_1-C_6-alkylsulfanyl or a C_1-C_6-halogenalkylsulfanyl having 1 to 5 halogen atoms;
- n may be 1, 2, 3 or 4; and
- Het represents an optionally substituted 5-, 6- or 7-membered heterocycle with one, two or three heteroatoms which may be the same or different; Het being linked by a carbon atom.

[0006] In the context of the present invention :

- halogen means fluorine, bromine, chlorine or iodine;
- heteroatom means N, O or S.

[0007] According to the present invention, X represents an oxygen atom or a sulphur atom. Preferably, X represents an oxygen atom.

[0008] According to the present invention, the 2-pyridyl may be substituted in every position by $(Y)_n$, in which Y and n are as defined above. Preferably, the present invention relates to N-[2-(2-pyridinyl)ethyl]carboxamide derivative of general formula (I) in which the different characteristics may be chosen alone or in combination as being :

- as regards n, n is 1 or 2. More preferably n is 2.

- as regards Y, at least one of the Y substituent is a halogen atom, a C_1-C_8-alkyl, a C_1-C_6-halogenoalkyl having 1 to 5 halogen atoms or a C_1-C_6-alkoxy-C_1-C_6-alkylcarbonyl. More preferably, at least one of the Y substituent is a C_1-C_6-halogenoalkyl having 1 to 5 halogen atoms. Even more preferably, at least one of the Y substituent is $-CF_3$.
- as regards the positions in which the 2-pyridyl is substituted, the 2-pyridyl is substituted in 3- and/or in 5-position.

[0009] Even more preferably, the substituent in 5-position is $-CF_3$.

[0010] According to the present invention, "Het" of the compound of general formula (I) may be a five membered ring heterocycle. Specific examples of compounds of the present invention where Het is a five membered heterocycle include :

* Het represents a heterocycle of the general formula (II)

(II)

in which :

- R^2 and R^3 may be the same or different and may be a hydrogen atom, a halogen atom, an amino group, a nitro group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^4 may be a hydrogen atom, a halogen atom, a nitro group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (III)

(III)

in which:

- R^5 may be a hydrogen atom, a halogen atom , a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^6 and R^{7}6 may be the same or different and may be a hydrogen atom, a halogen atom, an amino group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

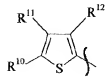
* Het represents a heterocycle of the general formula (IV)

(IV)

in which:

- R^8 may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^9 may be a hydrogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (V)

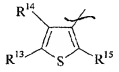


(V)

in which:

- R^{10} and R^{11} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-alkylsulphonyl, a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl or a pyridyl otpionally substituted by a halogen atom or a C_1-C_4-alkyl; and
- R^{12} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (VI)

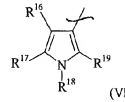


(VI)

in which :

- R^{13} and R^{14} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-alkyloxy or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{15} may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (VII)

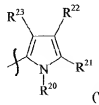


(VII)

in which :

- R^{16} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;
- R^{17} and R^{19} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{18} may be a hydrogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a hydroxy-C_1-C_4-alkyl, a C_1-C_4-alkylsulphonyl, a di(C_1-C_4-alkyl)aminosulphonyl, a C_1-C_8-alkylcarbonyl, a phenylsulphonyl optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a benzoyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

* Het represents a heterocycle of the general formula (VIII)

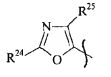


(VIII)

in which :

- R^{20} may be a hydrogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a hydroxy-C_1-C_4-alkyl, a C_1-C_4-alkyisulphonyl, a di(C_1-C_4-alkyl)aminosulphonyl, a C_1-C_6-alkylcarbonyl, a phenylsulphonyl optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a benzoyl optionally substituted by a halogen atom or a C_1-C_4-alkyl; and
- R^{21}, R^{22} and R^{23} may be the same or different and may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a C_1-C_4-alkylcarbonyl.

* Het represents a heterocycle of the general formula (IX)

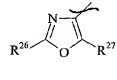


(IX)

in which :

- R^{24} may be a hydrogen atom or a C_1-C_4-alkyl; and
- R^{25} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (X)

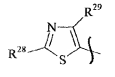


(X)

in which:

- R^{26} may be a hydrogen atom or a C_1-C_4-alkyl; and
- R^{27} may be a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

* Het represents a heterocycle of the general formula (XI)

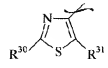


(XI)

in which :

- R^{28} may be a hydrogen atom, a halogen atom, an amino group, a cyano group, a C_1-C_4-alkylamino, a di-(C_1-C_4-alkyl)amino, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl; and
- R^{29} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (XII)

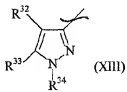


(XII)

in which :

- R^{30} may be a hydrogen atom, a halogen atom, an amino group, a cyano group, a C_1-C_4-alkylamino, a di-(C_1-C_4-alkyl)amino, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{31} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (XIII)

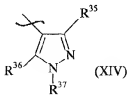


(XIII)

in which:

- R^{32} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_3-C_6-cycloalkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl group or an aminocarbonyl-C_1-C_4-alkyl;
- R^{33} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-alkoxy or a C_1-C_4-alkylthio; and
- R^{34} may be a hydrogen atom, a phenyl, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C_1-C_4-alkyl, a C_2-C_6-alkenyl, a C_3-C_6-cycloalkyl, a C_1-C_4-alkylthio-C_1-C_4-alkyl, a C_1-C_4-halogenoalkylthio-C_1-C_4-alkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl or a C_1-C_4-halogenoalkoxy-C_1-C_4-alkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (XIV)

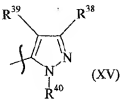


(XIV)

in which :

- R^{35} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_3-C_6-cycloalkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl or an aminocarbonyl-C_1-C_4-alkyl;
- R^{36} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms or a C_1-C_4-alkylthio; and
- R^{37} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C_1-C_4-alkyl, a C_2-C_6-alkenyl, a C_3-C_6-cycloalkyl, a C_1-C_4-alkylthio-C_1-C_4-alkyl, a C_1-C_4-halogenoalkylthio-C_1-C_4-alkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a C_1-C_4-halogenoalkoxy-C_1-C_4-alkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-alkoxyalkyl or a nitro group.

* Het represents a heterocycle of the general formula (XV)

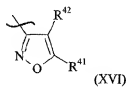


(XV)

in which:

- R^{38} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_3-C_6-cycloalkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl, or an aminocarbonyl-C_1-C_4-alkyl;
- R^{39} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio or a C_1-C_4-halogenoalky having 1 to 5 halogen atoms;
- R^{40} may be a hydrogen atom, a phenyl, a benzyl, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C_1-C_4-alkyl, a C_2-C_6-alkenyl, a C_3-C_6-cycloalkyl, a C_1-C_4-alkylthio-C_1-C_4-alkyl, a C_1-C_4-halogenoalkylthio-C_1-C_4-alkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a C_1-C_4-halogenoalkoxy-C_1-C_4-alkyl having 1 to 5 halogen atoms.

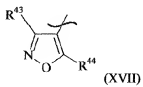
* Het represents a heterocycle of the general formula (XVI)



(XVI)

in which R^{41} and R^{42} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (XVII)



(XVII)

in which R^{43} and R^{44} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a heterocyclyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

* Het represents a heterocycle of the general formula (XVIII)

(XVIII)

in which R^{45} and R^{40} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (XIX)

(XIX)

in which R^{47} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

* Het represents a heterocycle of the general formula (XX)

(XX)

in which :

- R^{48} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{49} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, or a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

* Het represents a heterocycle of the general formula (XXI)

(XXI)

in which R^{50} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

[0011] According to the present invention, "Het" of the compound of general formula (1) may be a six membered ring heterocycle. Specific examples of compounds of the present invention where Het is a six membered heterocycle include :

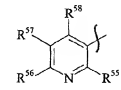
* Het represents a heterocycle of the general formula (XXII)

(XXII)

in which :

- R^{51} may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms or a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms;
- R^{52}, R^{53} and R^{54}, which may be the same or different, may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylsulphinyl or a C_1-C_4-alkylsulphonyl.

* Het represents a heterocycle of the general formula (XXIII)

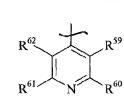


(XXIII)

in which :

- R^{55} may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_5-alkylthio, a C_2-C_5-alkenylthio a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a phenyloxy optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a phenylthio optionally substituted by a halogen atom or a C_1-C_4-alkyl;
- R^{56}, R^{57} and R^{58}, which may the same or different, may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylsulphinyl, a C_1-C_4-alkylsulphonyl or a N-morpholine optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a thienyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

* Het represents a heterocycle of the general formula (XXIV)



(XXIV)

in which R^{59}, R^{60}, R^{61} and R^{62}, which may be the same or different, may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylsulphinyl or a C_1-C_4-alkylsulphonyl.

* Het represents a heterocycle of the general formula (XXV)

(XXV)

in which :

- R^{63} may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;
- R^{64} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_6-alkoxycarbonyl, a benzyl optionally substituted by 1 to 3 halogen atoms, a benzyloxycarbonyl optionally substituted by 1 to 3 halogen atoms or a heterocyclyl.

* Het represents a heterocycle of the general formula (XXVI)

(XXVI)

in which :

- R^{65} may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms or a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms;
- R^{66} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a benzyl.

* Het represents a heterocycle of the general formula (XXVII)

(XXVII)

in which :

- X^1 may be a sulphur atom, -SO-, $-SO_2$- or $-CH_2$-;
- R^{67} may be a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{68} and R^{69} may be the same or different and may be a hydrogen atom or a C_1-C_4-alkyl.

* Het represents a heterocycle of the general formula (XXVIII)

(XVIII)

in which:

- R^{70} may be a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;

  * Het represents a heterocycle of the general formula (XXIX)

(XXIX)

in which :

- R^{71} may be a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

  * Het represents a heterocycle of the general formula (XXX)

(XXX)

in which R^{72} may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

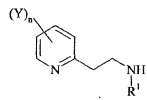
[0012] The present invention also relates to a process for the preparation of the compound of general formula (I). Thus, according to a further aspect of the present invention there is provided a process for the preparation of compound of general formula (I) as defined above, which comprises reacting a carboxylic acid derivative of the general formula (A)

(A)

in which :

- Het is as defined above;
- G may be a halogen atom, a hydroxy group or a C_1-C_6-alkoxy;

with a 2-pyridine derivative of general formula (B)



(B)

in which Y, R^1 and n are as defined above;
in the presence of a catalyst if G is a hydroxy or a C_1-C_6-alkoxy group, or in the presence of an acid binder if G is a halogen atom.

[0013] According to the present invention, the process for the preparation of compound of general formula (I) is carried out in the presence of a catalyst if G is a hydroxy or a C_1-C_6-alkoxy group. Suitable catalyst includes the coupling

reagents dicyclohexylcarbodiimide, N,N'-carbonyldimidazole, bromotripyrrolidinophosphonium hexafluorophosphate and trimethylaluminium.

[0014] According to the present invention, the process for the preparation of compound of general formula (1) is carried out in the presence of an acid binder if G is a halogen atom. Suitable acid binder includes carbonates, aqueous alkali or tertiary amines.

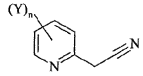
[0015] The present invention also relates to another process for the preparation of the compound of general formula (I). Thus, according to a further aspect of the present invention there is provided a second process for the preparation of compound of general formula (I) as defined above, which comprises reacting a carboxylic acid anhydride derivative of general formula (C)

(C)

in which :

- Het is as defined above;
- W may be defined as Het or a C_1-C_6-alkyl;

with a 2-pyridine derivative of the formula (D)



(D)

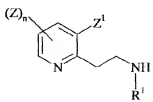
in which R^1 and n are each as defined above;

in the presence of a reducing agent.

[0016] According to the present invention, the second process for the preparation of compound of general formula (I) is carried out in the presence of a reducing agent. Suitable reducing agent includes H_2 and $NaBH_4$.

[0017] The compound according to the present invention can be prepared according to the general processes of preparation described above. It will nevertheless be understood that the skilled worker will be able to adapt this method according to the specifics of each of the compounds, which it is desired to synthesise. For example, the above mentioned processes may be carried out in the presence of a diluent if appropriate. If appropriate, the second process for the preparation of compound of general formula (I) may also be carried out in the presence of a catalyst such as $NiCl_2$-H_2O or $CoCl_3$-H_2O.

[0018] Certain of the intermediate compounds used for the preparation of compound of general formula (I) are novel. Therefore, the present invention also relates to novel intermediate compound useful for the preparation of compound of general formula (1). Thus, according to the present invention, there is provided a novel compound of general formula (E) :



(E)

in which:

- Z may be the same or different and may be a halogen atom, a nitro group, a cyano group, a hydroxy, a carboxyl group, a C_1-C_6-alkyl, a C_1-C_6-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_6-halogenoalkoxy having 1 to 5

halogen atoms, a C_1-C_8-alkylthio, a C_1-C_6-halogenoalkylthio having 1 to 5 halogen atoms, a C_2-C_8-alkenyloxy, a C_2-C_8-halogenoalkenyloxy having 1 to 5 halogen atoms, a C_3-C_8-alkinyloxy, a C_3-C_8-halogenoalkinyloxy having 1 to 5 halogen atoms, a C_3-C_8-cycloalkyl, a C_1-C_8-alkoxycarbonyl, a C_1-C_8-alkylsulphinyl, a C_1-C_8-alkylsulphonyl, a C_1-C_8-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C_1-C_8-halogenoalkylsulphonyl having 1 to 5 halogen atoms or a C_1-C_6-alkoximino-C_1-C_6-alkyl;

- Z^1 may be a halogen atom or a C_1-C_8-alkyl;
- R^1 and n are as defined above.

[0019] The present invention also relates to a fungicidal composition comprising an effective amount of an active material of general formula (I). Thus, according to the present invention, there is provided a fungicidal composition comprising, as an active ingredient, an effective amount of a compound of general formula (I) as defined above and an agriculturally acceptable carrier or filler.

[0020] In the present specification, the term "support" denotes a natural or synthetic, organic or inorganic material with which the active material is combined to make it easier to apply, notably to the parts of the plant. This support is thus generally inert and should be agriculturally acceptable. The support may be a solid or a liquid. Examples of suitable supports include clays, natural or synthetic silicates, silica, resins, waxes, solid fertilisers, water, alcohols, in particular butanol, organic solvents, mineral and plant oils and derivatives thereof. Mixtures of such supports may also be used.

[0021] The composition may also comprise additional components. In particular, the composition may further comprise a surfactant. The surfactant can be an emulsifier, a dispersing agent or a wetting agent of ionic or non-ionic type or a mixture of such surfactants. Mention may be made, for example, of polyacrylic acid salts, lignosulphonic acid salts, phenolsulphonic or naphthalenesulphonic acid salts, polycondensates of ethylene oxide with fatty alcohols or with fatty acids or with fatty amines, substituted phenols (in particular alkylphenols or arylphenols), salts of sulphosuccinic acid esters, taurine derivatives (in particular alkyl taurates), phosphoric esters of polyoxyethylated alcohols or phenols, fatty acid esters of polyols, and derivatives of the above compounds containing sulphate, sulphonate and phosphate functions. The presence of at least one surfactant is generally essential when the active material and/or the inert support are water-insoluble and when the vector agent for the application is water. Preferably, surfactant content may be between 5% and 40% by weight.

[0022] Optionally, additional components may also be included, e.g. protective colloids, adhesives, thickeners, thixotropic agents, penetration agents, stabilisers, sequestering agents. More generally, the active materials can be combined with any solid or liquid additive, which complies with the usual formulation techniques.

[0023] In general, the composition according to the invention may contain from **0.05** to 99% (by weight) of active material, preferably 10 to **70%** by weight.

[0024] Compositions according to the present invention can be used in various forms such as aerosol dispenser, bait (ready for use), bait concentrate, block bait, capsule suspension, cold fogging concentrate, dustable powder, emulsifiable concentrate, emulsion oil in water, emulsion water in oil, encapsulated granule, fine granule, flowable concentrate for seed treatment, gas (under pressure),gas generating product, grain bait, granular bait, granule, hot fogging concentrate, macrogranule, microgranule, oil dispersible powder, oil miscible flowable concentrate, oil miscible liquid, paste, plant rodlet, plate bait, powder for dry seed treatment, scrap bait, seed coated with a pesticide, smoke candle, smoke cartridge, smoke generator, smoke pellet, smoke rodlet, smoke tablet, smoke tin, soluble concentrate, soluble powder, solution for seed treatment, suspension concentrate (flowable concentrate), tracking powder, ultra low volume (ulv) liquid, ultra low volume (ulv) suspension, vapour releasing product, water dispersible granules or tablets, water dispersible powder for slurry treatment, water soluble granules or tablets, water soluble powder for seed treatment and wettable powder.

[0025] These compositions include not only compositions which are ready to be applied to the plant or seed to be treated by means of a suitable device, such as a spraying or dusting device, but also concentrated commercial compositions which must be diluted before they are applied to the crop.

[0026] The compounds of the invention can also be mixed with one or more insecticides, fungicides, bactericides, attractant acaricides or pheromones or other compounds with biological activity. The mixtures thus obtained have a broadened spectrum of activity. The mixtures with other fungicides are particularly advantageous.

[0027] The fungicidal compositions of the present invention can be used to curatively or preventively control the phytopathogenic fungi of crops. Thus, according to a further aspect of the present invention, there is provided a method for curatively or preventively controlling the phytopathogenic fungi of crops characterised in that a fungicidal composition as hereinbefore defined is applied to the seed, the plant and/or to the fruit of the plant or to the soil in which the plant is growing or in which it is desired to grow.

[0028] The composition as used against phytopathogenic fungi of crops comprises an effective and non-phytotoxic amount of an active material of general formula (I).

[0029] The expression "effective and non-phytotoxic amount" means an amount of composition according to the invention which is sufficient to control or destroy the fungi present or liable to appear on the crops, and which does not

entail any appreciable symptom of phytotoxicity for the said crops. Such an amount can vary within a wide range depending on the fungus to be combated, the type of crop, the climatic conditions and the compounds included in the fungicidal composition according to the invention.

[0030] This amount can be determined by systematic field trials, which are within the capabilities of a person skilled in the art.

[0031] The method of treatment according to the present invention is useful to treat propagation material such as tubers and rhizomes, but also seeds, seedlings or seedlings pricking out and plants or plants pricking out. This method of treatment can also be useful to treat roots. The method of treatment according to the present invention can also be useful to treat the overground parts of the plant such as trunks, stems or stalks, leaves, flowers and fruits of the concerned plant.

[0032] Among the plants targeted by the method according to the invention, mention may be made of: cotton; flax; vine; fruit crops such as *Rosaceae sp.* (for instance pip fruits such as apples and pears, but also stone fruits such as apricots, almonds and peaches), *Ribesioidae sp., Juglandaceae sp., Betulaceae sp., Anacardiaceae sp., Fagaceae sp., Moraceae sp., Oleaceae sp., Actinidaceae sp., Lauraceae sp., Musaceae sp.* (for instance banana trees and plantins), *Rubiaceae sp., Theaceae sp., Sterculiceae sp., Rutaceae sp.* (for instance lemons, oranges and grapefruits); leguminous crops such as *Solanaceae sp.* (for instance tomatoes), *Liliaceae sp., Asteraceae sp.* (for instance lettuces), *Umbelliferae sp., Cruciferae sp., Chenopodiaceae sp., Cucurbitaceae sp., Papilionaceae sp.* (for instance peas), *Rosacese sp.* (for instance strawberries); big crops such as *Graminae sp.* (for instance maize, cereals such as wheat, rice, barley and triticale), *Asteraceae sp.* (for instance sunflower), *Cruciferae sp.* (for instance colza), *Papilionaceae sp.* (for instance soja), *Solanaceae sp.* (for instance potatoes), *Chenopodiaceae sp.* (for instance beetroots); horticultural and forest crops; as well as genetically modified homologues of these crops.

[0033] Among the plants and the possible diseases of these plants targeted by the method according to the present invention, mention may be made of :

- wheat, as regards controlling the following seed diseases: fusaria *(Microdochium nivale* and *Fusarium roseum),* stinking smut *(Tilletia caries, Tilletia controversa* or *Tilletia indica),* septoria disease *(Septoria nodorum)* and loose smut;
- wheat, as regards controlling the following diseases of the aerial parts of the plant: cereal eyespot *(Tapesia yallundae, Tapesia aculiformis),* take-all *(Gaeumannomyces graminis),* foot blight (*F. culmorum, F. graminearum),* black speck *(Rhizoctonia cerealis),* powdery mildew *(Erysiphe graminis forma specie tritici),* rusts *(Puccinia striiformis* and *Puccinia recondita)* and septoria diseases *(Septoria tritici* and *Septoria nodorum);*
- wheat and barley, as regards controlling bacterial and viral diseases, for example barley yellow mosaic;
- barley, as regards controlling the following seed diseases: net blotch *(Pyrenophora graminea, Pyrenophora teres* and *Cochliobolus sativus),* loose smut *(Ustilago nuda)* and fusaria *(Microdochium nivale* and *Fusarium roseum);*
- barley, as regards controlling the following diseases of the aerial parts of the plant: cereal eyespot *(Tapesia yallundae),* net blotch *(Pyrenophora teres* and *Cochliobolus sativus),* powdery mildew *(Erysiphe graminis forma specie hordei),* dwarf leaf rust *(Puccinia hordei)* and leaf blotch *(Rhynchosporium secalis);*
- potato, as regards controlling tuber diseases (in particular *Helminthosporitim solani, Phoma tuberosa, Rhizoctonia solani, Fusarium solani),* mildew *(Phytopthora infestans)* and certain viruses (virus Y);
- potato, as regards controlling the following foliage diseases: early blight *(Alternaria solani),* mildew *(Phytophthora infestans);*
- cotton, as regards controlling the following diseases of young plants grown from seeds: damping-off and collar rot *(Rhizoctonia solani, Fusarium oxysporum)* and black root rot *(Thielaviopsis basicola);*
- protein yielding crops, for example peas, as regards controlling the following seed diseases: anthracnose *(Ascochyta pisi, Mycosphaerella pinodes),* fusaria *(Fusarium oxysporum),* grey mould *(Botrytis cinerea)* and mildew *(Peronospora pisi);*
- oil-bearing crops, for example rape, as regards controlling the following seed diseases: *Phoma lingam, Alternaria brassicae* and *Sclerotinia sclerotiorum;*
- corn, as regards controlling seed diseases: *(Rhizopus* sp., *Penicillium* sp., *Trichoderma* sp., *Aspergillus* sp., and *Gibberella fujikuroi);*
- flax, as regards controlling the seed disease: *Alternaria linicola;*
- forest trees, as regards controlling damping-off *(Fusarium oxysporum, Rhizoctonia solani);*
- rice, as regards controlling the following diseases of the aerial parts: blast disease *(Magnaporthe grises),* bordered sheath spot *(Rhizoctonia solani);*
- leguminous crops, as regards controlling the following diseases of seeds or of young plants grown from seeds: damping-off and collar rot *(Fusarium oxysporum, Fusarium roseum, Rhizoctonia solani, Pythium sp.);*
- leguminous crops, as regards controlling the following diseases of the aerial parts: grey mould (Botrytis sp.), powdery mildews (in particular *Erysiphe cichoracearum, Sphaerotheca fuliginea* and *Leveillula taurica),* fusaria *(Fusar-*

ium oxysporum, Fusarium roseum), leaf spot *(Cladosporium sp.)*, alternaria leaf spot *(Alternaria sp.)*, anthracnose *(Colletotrichum sp.)*, septoria leaf spot *(Septoria sp.)*, black speck *(Rhizoctonia solani)*, mildews (for example *Bremia lactucae, Peronospora sp., Pseudoperonospora sp., Phytophthora sp.)*;

- fruit trees, as regards diseases of the aerial parts: monilia disease *(Monilia fructigenae, M. laxa)*, scab *(Venturia inaequalis)*, powdery mildew *(Podosphaera leucotricha)*;
- vine, as regards diseases of the foliage: in particular grey mould *(Botrytis cinerea)*, powdery mildew *(Uncinula necator)*, black rot *(Guignardia biwelli)* and mildew *(Plasmopara viticola)*;
- beetroot, as regards the following diseases of the aerial parts: cercospora blight *(Cercospora beticola)*, powdery mildew *(Erysiphe beticola)*, leaf spot *(Ramularia beticola)*.

[0034] The fungicide composition according to the present invention may also be used against fungal diseases liable to grow on or inside timber. The term "timber" means all types of species of wood, and all types of working of this wood intended for construction, for example solid wood, high-density wood, laminated wood, and plywood. The method for treating timber according to the invention mainly consists in contacting one or more compounds of the present invention, or a composition according to the invention; this includes for example direct application, spraying, dipping, injection or any other suitable means.

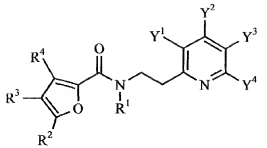
[0035] The dose of active material usually applied in the treatment according to the present invention is generally and advantageously between 10 and 800 g/ha, preferably between 50 and 300 g/ha for applications in foliar treatment. The dose of active material applied is generally and advantageously between 2 and 200 g per 100 kg of seed, preferably between 3 and 150 g per 100 kg of seed in the case of seed treatments. It is clearly understood that the doses indicated above are given as illustrative examples of the invention. A person skilled in the art will know how to tailor the application doses according to the nature of the crop to be treated.

[0036] The fungicidal composition according to the present invention may also be used in the treatment of genetically modified organisms with the compounds according to the invention or the agrochemical compositions according to the invention. Genetically modified plants are plants into whose genome a heterologous gene encoding a protein of interest has been stably integrated. The expression "heterologous gene encoding a protein of interest" essentially means genes which give the transformed plant new agronomic properties, or genes for improving the agronomic quality of the transformed plant.

[0037] The compositions according to the present invention may also be used to curatively or preventively treat human and animal fungal diseases such as, for example, mycoses, dermatoses, trichophyton diseases and candidiases or diseases caused by *Aspergillus spp.*, for example *Aspergillus fumigatus*.

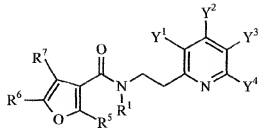
[0038] The aspects of the present invention will now be illustrated with reference to the following tables of compounds and examples. The following Tables A to V illustrate in a non-limiting manner examples of fungicidal compounds according to the present invention. In the following Examples, M+1 (or M-1) means the molecular ion peak, plus or minus 1 a.m.u. (atomic mass units) respectively, as observed in mass spectroscopy and M (ApcI+) means the molecular ion peak as it was found via positive atmospheric pressure chemical ionisation in mass spectroscopy.

Table A



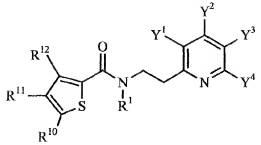
Compound	R^1	R^2	R^3	R^4	Y^1	Y^2	Y^3	Y^4	M+1
1	H	H	H	H	Cl	H	CF_3	H	319 at 1 ^{35}Cl
2	H	NO_2	H	H	Cl	H	CF_3	H	364 at 1 ^{35}Cl
3	H	H	H	Me	Cl	H	CF_3	H	333 at 1 ^{35}Cl

Table B



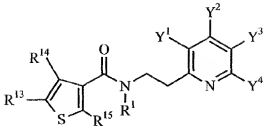
Compound	R^1	R^5	R^6	R^7	Y^1	Y^2	Y^3	Y^4	M (ApcI+)	M+1
4	H	Me	H	H	Cl	H	CF_3	H		333 at 1 ^{35}Cl
5	H	CF_3	4-chloro-phenyl	H	Cl	H	CF_3	H		497 at 2 ^{35}Cl
6	H	H	H	H	Cl	H	CF_3	H		319 at 1 ^{35}Cl
7	H	Me	t-Bu	H	Cl	H	CF_3	H		389 at 1 ^{35}Cl
8	H	Me	Ph	H	Cl	H	CF_3	H		409 at 1 ^{35}Cl
9	H	Me	4-chloro-phenyl	H	Cl	H	CF_3	H		443 at 2 ^{35}Cl
10	H	Me	Me	H	Cl	H	CF_3	H		347 at 1 ^{35}Cl
11	H	CF_3	Me	H	Cl	H	CF_3	H		401 at 1 ^{35}Cl
12	H	CF_3	3-chloro-phenyl	H	Cl	H	CF_3	H	496 at 2 ^{35}Cl	
13	H	CF_3	Ph	H	Cl	H	CF_3	H		463 at 1 ^{35}Cl
14	H	H	H	Me	Cl	H	CF_3	H		333 at 1 ^{35}Cl
15	H	CF_3	H	H	Cl	H	CF_3	H		387 at 1 ^{35}Cl

Table C



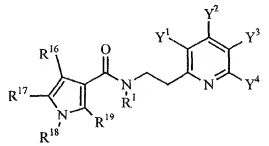
Compound	R^1	R^{10}	R^{11}	R^{12}	Y^1	Y^2	Y^3	Y^4	M (ApcI+)	M+1
16	H	H	H	H	Cl	H	CF_3	H		335 at 1 ^{35}Cl
17	H	H	H	Cl	Cl	H	CF_3	H		369 at 2 ^{35}Cl
18	H	H	H	Me	Cl	H	CF_3	H		349 at 1 ^{35}Cl
19	H	H	SO_2iPr	Cl	Cl	H	CF_3	H		475 at 2 ^{35}Cl
20	H	H	H	Br	Cl	H	CF_3	H	412 at 1 ^{35}Cl and 1 ^{79}Br	
21	H	2-Pyridyl	H	H	Cl	H	CF_3	H		412 at 1 ^{35}Cl
22	H	Ph	H	H	Cl	H	CF_3	H		411 at 1 ^{35}Cl
23	H	H	SO_2Me	Cl	Cl	H	CF_3	H	446 at 1 ^{35}Cl	
24	H	SMe	SO_2iPr	Cl	Cl	H	CF_3	H		521 at 2 ^{35}Cl
25	H	SMe	SO_2iPr	I	Cl	H	CF_3	H	612 at 1 ^{35}Cl	
26	H	Cl	Cl	Cl	Cl	H	CF_3	H	436 at 4 ^{35}Cl	
27	H	H	H	I	Cl	H	CF_3	H		461 at 1 ^{35}Cl
28	H	H	H	Cl	Cl	H	CF_3	H		369 at 2 ^{35}Cl

Table D



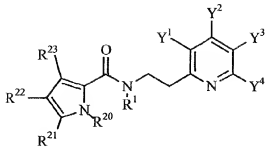
Compound	R^1	R^{13}	R^{14}	R^{15}	Y^1	Y^2	Y^3	Y^4	M+1
29	H	Cl	OMe	H	Cl	H	CF_3	H	399 at 2 ^{35}Cl
30	H	H	H	H	Cl	H	CF_3	H	335 at 1 ^{35}Cl
31	H	H	H	Me	Cl	H	CF_3	H	349 at 1 ^{35}Cl

Table E



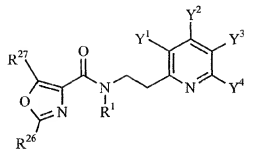
Compound	R^1	R^{16}	R^{17}	R^{18}	R^{19}	Y^1	Y^2	Y^3	Y^4	M-1
32	H	H	Me	Me	Me	Cl	H	CF_3	H	358 at 1 ^{35}Cl

Table F



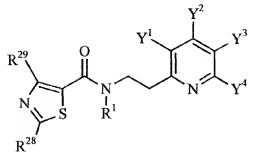
Compound	R^1	R^{20}	R^{21}	R^{22}	R^{23}	Y^1	Y^2	Y^3	Y^4	M (APcI+)	M+1
33	H	Me	H	H	H	Cl	H	CF_3	H		332 at 1 ^{35}Cl
34	H	H	Me	Ac	Me	Cl	H	CF_3	H	387 at 1 ^{35}Cl	

Table G



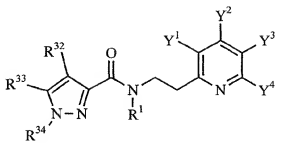
Compound	R^1	R^{26}	R^{27}	Y^1	Y^2	Y^3	Y^4	M+1
35	H	H	Ph	Cl	H	CF_3	H	396 at 1 ^{35}Cl

Table H



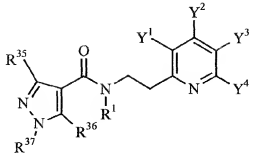
Compound	R^1	R^{28}	R^{29}	Y^1	Y^2	Y^3	Y^4	M+1
36	H	Me	CF_3	Cl	H	CF_3	H	418 at l ^{35}Cl
37	H	Me	CHF_2	Cl	H	CF_3	H	400 at l ^{35}Cl
38	H	Ph	Me	Cl	H	CF_3	H	426 at l ^{35}Cl

Table I



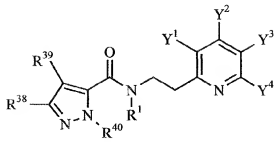
Compound	R^1	R^{32}	R^{33}	R^{34}	Y^1	Y^2	Y^3	Y^4	M (APcI+)	M+1
39	H	H	Me	t-Bu	Cl	H	CF_3	H		389 at l ^{35}Cl
40	H	H	Me	Me	Cl	H	CF_3	H		347 at l ^{35}Cl
41	H	Br	NO_2	Me	Cl	H	CF_3	H	455 at l ^{35}Cl and l ^{79}Br	
42	H	I	H	Me	Cl	H	CF_3	H		459 at l ^{35}Cl

Table J



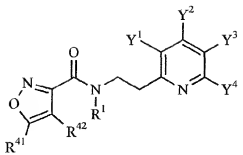
Compound	R^1	R^{35}	R^{36}	R^{37}	Y^1	Y^2	Y^3	Y^4	M+1
43	H	Me	F	Me	Cl	H	CF_3	H	365 at 1 ^{35}Cl
44	H	Me	H	Me	Cl	H	CF_3	H	347 at 1 ^{35}Cl
45	H	CHF_2	H	Me	Cl	H	CF_3	H	383 at 1 ^{35}Cl
46	H	H	CF_3	Ph	Cl	H	CF_3	H	463 at 1 ^{35}Cl
47	H	H	CF_3	4-chlorophenyl	Cl	H	CF_3	H	497 at 2 ^{35}Cl
48	H	H	Cl	Me	Cl	H	CF_3	H	367 at 2 ^{35}Cl
49	H	H	Me	4-fluorophenyl	Cl	H	CF_3	H	427 at 1 ^{35}Cl
50	H	H	Me	4-methoxyphenyl	Cl	H	CF_3	H	439 at 1 ^{35}Cl
51	H	H	Me	Ph	Cl	H	CF_3	H	409 at 1 ^{35}Cl
52	H	H	Me	2-methylphenyl	Cl	H	CF_3	H	423 at 1 ^{35}Cl
53	H	H	n-Pr	Ph	Cl	H	CF_3	H	437 at 1 ^{35}Cl
54	H	H	n-Pr	4-chlorophenyl	Cl	H	CF_3	H	471 at 2 ^{35}Cl
55	H	H	CF_3	4-nitrophenyl	Cl	H	CF_3	H	508 at 1 ^{35}Cl
56	H	Me	Me	Me	Cl	H	CF_3	H	361 at 1 ^{35}Cl
57	H	Cl	H	Me	Cl	H	CF_3	H	367 at 2 ^{35}Cl
58	H	I	H	Me	Cl	H	CF_3	H	459 at 1 ^{35}Cl
59	H	Me	Me	Me	Cl	H	Cl	H	??? at 2 ^{35}Cl
60	H	Me	F	Me	Cl	H	Cl	H	330 at 2 ^{35}Cl

Table K



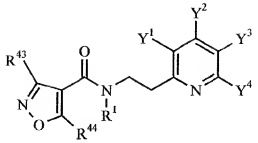
Compound	R^1	R^{38}	R^{39}	R^{40}	Y^1	Y^2	Y^3	Y^4	M+1
61	H	Me	H	t-Bu	Cl	H	CF_3	H	389 at 1 ^{35}Cl
62	H	t-Bu	H	Me	Cl	H	CF_3	H	334 at 1 ^{35}Cl
63	H	t-Bu	H	Benzyl	Cl	H	CF_3	H	465 at 1 ^{35}Cl
64	H	Me	H	Me	Cl	H	CF_3	H	347 at 1 ^{35}Cl
65	H	H	H	Ph	Cl	H	CF_3	H	395 at 1 ^{35}Cl
66	H	Me	Br	Et	Cl	H	CF_3	H	439 at 1 ^{35}Cl and 1 ^{79}Br

Table L



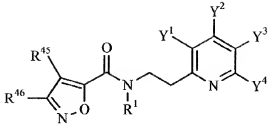
Compound	R^1	R^{41}	R^{42}	Y^1	Y^2	Y^3	Y^4	M+1
67	H	Me	H	Cl	H	CF_3	H	394 at 1 ^{35}Cl

Table M



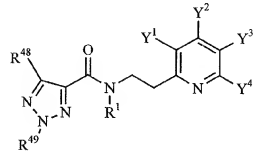
Compound	R^1	R^{43}	R^{44}	Y^1	Y^2	Y^3	Y^4	M-1	M+1
68	H	Me	4-methyl-[1,2,3]thiadiazol-5-yl	Cl	H	CF_3	H		432 at 1 ^{35}Cl
69	H	Me	Me	Cl	H	CF_3	H		348 at 1 ^{35}Cl
70	H	Ph	Me	Cl	H	CF_3	H	408 at 1 ^{35}Cl	
71	II	2-chlorophenyl	Me	Cl	H	CF_3	II		444 at 2 ^{35}Cl
72	H	2,6-dichlorophenyl	Me	Cl	H	CF_3	H		478 at 3 ^{35}Cl
73	H	2-chloro-6-fluorophenyl	Me	Cl	H	CF_3	H		462 at 2 ^{35}Cl

Table N



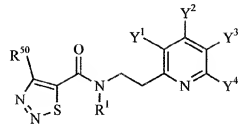
Compound	R^1	R^{45}	R^{46}	Y^1	Y^2	Y^3	Y^4	M+1
74	H	H	H	Cl	H	CF_3	H	320 at 1 ^{35}Cl

Table O



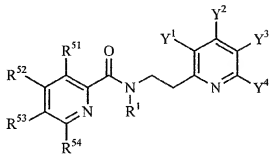
Compound	R^1	R^{48}	R^{49}	Y^1	Y^2	Y^3	Y^4	M+1
75	H	Me	Ph	Cl	H	CF_3	H	410 at 1 ^{35}Cl

Table P



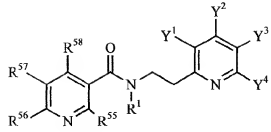
Compound	R^1	R^{50}	Y^1	Y^2	Y^3	Y^4	M+1
76	H	Me	Cl	H	CF_3	H	351 at 1 ^{35}Cl

Table Q



Compound	R^1	R^{51}	R^{52}	R^{53}	R^{54}	Y^1	Y^2	M (APcI+)	M+1
77	H	Cl	H	CF_3	H	Cl	H		432 at 2 ^{35}Cl
78	H	Cl	H	H	Cl	Cl	H	397 at 3 ^{35}Cl	

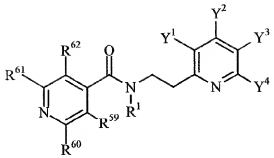
Table R



Compound	R^1	R^{55}	R^{56}	R^{57}	R^{58}	Y^1	Y^2	Y^3	Y^4	M (ApcI+)	M+1
79	H	Cl	H	H	H	Cl	H	CF_3	H		364 at $2^{35}Cl$
80	H	Cl	H	H	H	Cl	H	Cl	H		
81	H	SEt	H	H	H	Cl	H	CF_3	H		390 at $1^{35}Cl$
82	H	H	Cl	H	H	Cl	H	CF_3	H		364 at $2^{35}Cl$
83	H	H	H	H	H	Cl	H	CF_3	H		330 at $1^{35}Cl$
84	H	SPh	H	H	H	Cl	H	CF_3	H		438 at $1^{35}Cl$
85	H	4-chloro-phenoxy	H	H	H	Cl	H	CF_3	H		456 at $2^{35}Cl$
86	H	H	H	2-Thienyl	H	Cl	H	CF_3	H		412 at $1^{35}Cl$
87	H	H	N-Morpholino	H	H	Cl	H	CF_3	H		415 at $1^{35}Cl$
88	H	Me	H	H	H	Cl	H	CF_3	H		344 at $1^{35}Cl$
89	H	3-propenyl-sulfinyl	H	H	H	Cl	H	CF_3	H		402 at $1^{35}Cl$

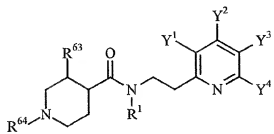
Compound	R^1	R^{55}	R^{56}	R^{57}	R^{58}	Y^1	Y^2	Y^3	Y^4	M (ApcI+)	M+1
90	H	S*n*Pr	H	H	H	Cl	H	CF_3	H		404 at $1\ ^{35}Cl$
91	H	n-pentyl-sulfinyl	H	H	H	Cl	H	CF_3	H		432 at $1\ ^{35}Cl$
92	H	Cl	Cl	F	H	Cl	H	CF_3	H	415 at 3 ^{35}Cl	
93	H	Me	CF_3	H	H	Cl	H	CF_3	H		412 at $1\ ^{35}Cl$
94	H	CN	H	H	H	Cl	H	CF_3	H		355 at $1\ ^{35}Cl$
95	H	Cl	Me	H	H	Cl	H	CF_3	H		378 at $2\ ^{35}Cl$
96	H	CF_3	H	H	H	Cl	H	CF_3	H		398 at $1\ ^{35}Cl$
97	H	F	H	H	H	Cl	H	CF_3	H		348 at $1\ ^{35}Cl$

Table S



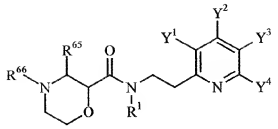
Compound	R^1	R^{59}	R^{60}	R^{61}	R^{62}	Y^1	Y^2	Y^3	Y^4	M+1
98	H	H	Cl	Cl	H	Cl	H	CF_3	H	398 at 3 ^{35}Cl
99	H	H	Me	Cl	H	Cl	H	CF_3	H	378 at 2 ^{35}Cl
100	H	H	OMe	Cl	H	Cl	H	CF_3	H	330 at 2 ^{35}Cl
101	H	H	H	H	H	Cl	H	CF_3	H	330 at 1 ^{35}Cl
102	H	H	H	Cl	H	Cl	H	CF_3	H	364 at 2 ^{35}Cl

Table T



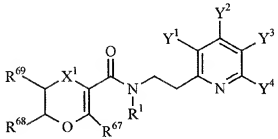
Compound	R^1	R^{63}	R^{64}	Y^1	Y^2	Y^3	Y^4	M+1
103	H	H	Benzyloxycarbonyl	Cl	H	CF_3	H	470 at 1 ^{35}Cl
104	H	H	4-trifluormethyl-pyrimidin-2-yl	Cl	H	CF_3	H	482 at 1 ^{35}Cl

Table U



Compound	R^1	R^{65}	R^{66}	Y^1	Y^2	Y^3	Y^4	M+1
105	H	H	Benzyl	Cl	H	CF_3	H	428 at 1 ^{35}Cl

Table V

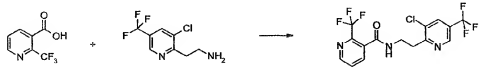


Compound	R^1	R^{67}	R^{68}	R^{69}	X^1	Y^1	Y^2	Y^3	Y^4	M+1
106	H	Me	H	H	S	Cl	H	CF_3	H	367 at 1 ^{35}Cl
107	H	CF3	H	H	S	Cl	H	CF_3	H	421 at 1 ^{35}Cl
108	H	CF3	Me	H	S	Cl	H	CF_3	H	435 at 1 ^{35}Cl
109	H	CF3	H	Me	S	Cl	H	CF_3	H	435 at 1 ^{35}Cl

[0039] Examples of process for preparation of the compound of general formula (I)

Example A : Preparation of N-[2-(3-Chloro-5-trifluoromethyl-pyridin-2-yl)-ethyl]-2-trifluoromethyl-nicotinamide

[0040]

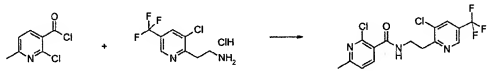


[0041] A solution of 204 mg (1 mmol) of 2-trifluormethyl nicotinic acid, 200 mg (0.9 mmol) of 2-(3-chloro-5-trifluormethyl-pyridin-2-yl)-ethylamine and 620 mg (1.3 mmol) of bromotripyrrolidinophosphonium hexafluorophosphate and 230 mg (1.8 mmol) N,N-Diisopropylethylamine in 8 ml methylene chloride is stirred for 20 h at room temperature.

[0042] The mixture is diluted with 10 ml water, separated and the methylene chloride phase is washed with sat. NH4Cl solution and water. The organic phase is dried over sodium sulfate. After evaporation of the solvent the residue is purified by column chromatography over silica-gel (eluant:hexane/ethylacetate = 10:1 to 1:1). Yield: 370 mg (98%).

Example B : Preparation of 2-Chloro-*N*-[2-(3-chloro-5-trifluoromethyl-pyridin-2-yl)-ethyl]-6-methyl-nicotinamide

[0043]

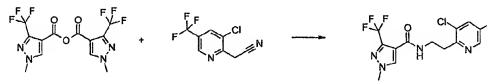


[0044] A solution of 161 mg (0.7 mmol) 2-chloro-6-methylnictotinyl chloride, 160 mg (0.7 mmol) 2-(3-chloro-5-trifluormethyl-pyridin-2-yl)-ethylamine hydrochloride and 236 mg (1.7 mmol) sodium carbonate in 8 ml acetonitrile is stirred for 3 days at room temperature.

[0045] The mixture is diluted with 5ml water and 5 ml ethylacetate, separated and the organic phase is washed with sat. NH_4Cl solution and water. The organic phase is dried over sodium sulfate and evaporated. Yield: 200 mg (62%).

Example C : Preparation of 1-Methyl-3-trifluoromethyl-1*H*-pyrazole-4-carboxylic acid [2-(3-chloro-5-trifluoromethyl-pyridin-2-yl)-ethyl]-amide

[0046]



[0047] 132 mg (3.5 mmol) of sodium borohydrate is added in small portions to a solution of 370 mg (1.0 mmol) 1-methyl-3-trifluoromethyl-1*H* pyrazole-4-carboxylic acid-anhydride, 110 mg (0.5 mmol) (3-chloro-5-trifluormethyl-pyridin-2-yl)-acetonitrile and 120 mg (0.5 mmol) Nickel(II) chloride hexahydrate in 5 ml of acetonitrile at 0°C. Stirring was continued at room temperature for 4 hours.

[0048] After evaporation of the solvent, the residue is purified by column chromatography over silica-gel (eluant: hexane/ethylacetate = 10:1 to 1:1). Yield: 80 mg (40%).

Examples of biological activity of the compound of general formula (I)

Example 1: *in vivo* test on *Alternaria brassicae* (Leaf spot of crucifers):

[0049] The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l.This suspension is then diluted with water to obtain the desired active material concentration.

[0050] Radish plants (Pernot variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 18-20°C, are treated at the cotyledon stage by spraying with the aqueous suspension described above.

[0051] Plants, used as controls, are treated with an aqueous solution not containing the active material.

[0052] After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Alternaria brassicae* spores (40,000 spores per cm^3). The spores are collected from a 12-13-day-old culture.

[0053] The contaminated radish plants are incubated for 6-7 days at about 18°C, under a humid atmosphere.

[0054] Grading is carried out 6 to 7 days after the contamination, in comparison with the control plants.

[0055] Under these conditions, good (at least 50%) or total protection is observed at a dose of 300ppm with the following compounds : *3, 4, 5, 7, 8, 10, 11, 12, 13, 17, 18, 20, 21, 23, 27, 35, 36, 37, 39, 41, 43, 44, 45, 46, 47, 48, 54, 55, 63, 65, 66, 69, 71, 72, 73, 74, 75, 77, 78, 79, 83, 84, 85, 88, 89, 91, 92, 93, 99, 102, 106.*

Example 2: *in vivo* test on *Erisyphe graminis* f. sp. *tritici* (wheat powdery mildew):

[0056] The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l.This suspension is then diluted with water to obtain the desired active material concentration.

[0057] Wheat plants (Audace variety) in starter cups, sown on 50/50 peat soil-pozzolana substrate and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the aqueous suspension described above.

[0058] Plants, used as controls, are treated with an aqueous solution not containing the active material.

[0059] After 24 hours, the plants are contaminated by dusting them with *Erysiphe graminis* f. sp. *tritici* spores, the dusting being carried out using diseased plants.

Grading is carried out 7 to 14 days after the contamination, in comparison with the control plants.

[0060] Under these conditions, good (at least 50%) or total protection is observed at a dose of 300ppm with the following compounds : *4, 7, 11, 17, 18, 27, 33, 36, 37, 41, 43, 44, 45, 61, 72, 73, 79, 88.*

Example 3: *in vivo* test on *Botrytis cinerea* (cucumber Grey mould):

[0061] The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l. This suspension is then diluted with water to obtain the desired active material concentration.

[0062] Cucumber plants (Marketer variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 18- 20°C, are treated at the cotyledon Z11 stage by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

[0063] After 24 hours, the plants are contaminated by depositing drops of an aqueous suspension of *Botrytis cinerea* spores (150,000 spores per ml) on upper surface of the leaves. The spores are collected from a 15-day-old culture and are suspended in a nutrient solution composed of:

- 20 g/L of gelatin
- 50 g/L of cane sugar
- 2 g/L of NH_4NO_3
- 1 g/L of KH_2PO_4

[0064] The contaminated cucumber plants are settled for 5/7 days in a climatic room at 15-11°C (day/night) and at 80% relative humidity.

[0065] Grading is carried out 5/7 days after the contamination, in comparison with the control plants. Under these conditions, good (at least 50%) protection is observed, at a dose of 500 g/ha, with a number of compounds of the present invention.

[0066] Under these conditions, good (at least 50%) or total protection is observed at a dose of 300ppm with the following compounds : *10, 11, 18, 27, 36, 37, 43, 44, 45, 79, 88, 106.*

Example 4: *in vivo* test on *Pyrenophora teres* (Barley Net blotch):

[0067] The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l. This suspension is then diluted with water to obtain the desired active material concentration.

[0068] Barley plants (Express variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 12°C, are treated at the 1-leaf stage (10 cm tall) by spraying with the aqueous suspension described above. Plants, used as controls, are treated with an aqueous solution not containing the active material.

[0069] After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Pyrenophora teres* spores (12,000 spores per ml). The spores are collected from a 12-day-old culture .The contaminated barley plants are incubated for 24 hours at about 20°C and at 100% relative humidity, and then for 12 days at 80% relative humidity.

[0070] Grading is carried out 12 days after the contamination, in comparison with the control plants. Under these conditions, good (at least 50%) protection is observed, at a dose of 500 g/ha, with a number of compounds of the present invention.

[0071] Under these conditions, good (at least 50%) or total protection is observed at a dose of 300ppm with the following compounds : *4, 6, 10, 11, 18, 20, 27, 35, 36, 37, 39, 41, 43, 44, 45, 49, 50, 52, 66, 71, 76, 79, 87, 88, 92, 93, 99, 106.*

Example 5: *in vivo* test on *Peronospora brassicae* (Cabbage downy mildew):

[0072] The active ingredient tested is prepared by potter homogenisation in a concentrated suspension type formulation at 100 g/l. This suspension is then diluted with water to obtain the desired active material concentration.

[0073] Cabbage plants (Eminence variety) in starter cups, sown on a 50/50 peat soil-pozzolana substrate and grown at 18-20°C, are treated at the cotyledon stage by spraying with the aqueous suspension described above.

[0074] Plants, used as controls, are treated with an aqueous solution not containing the active material.

[0075] After 24 hours, the plants are contaminated by spraying them with an aqueous suspension of *Peronospora brassicae* spores (50,000 spores per ml). The spores are collected from infected plant.

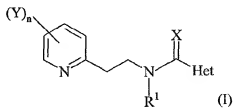
[0076] The contaminated cabbage plants are incubated for 5 days at 20°C, under a humid atmosphere.

[0077] Grading is carried out 5 days after the contamination, in comparison with the control plants.

[0078] Under these conditions, good (at least 50%) or total protection is observed at a dose of 300ppm with the following compounds : *9, 10.*

Claims

1. Compound of general formula (I):



(I)

In which :

- X may be an oxygen atom or a sulphur atom;
- Y may be the same or different and may be a halogen atom, a nitro group, a cyano group, a hydroxy, an amino group, a carboxyl group, a C_1-C_8-alkyl, a C_1-C_8-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_8-alkylamino, a di-C_1-C_8-alkylamino, a C_1-C_8-alkoxy, a C_1-C_8-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_8-alkylthio, a C_1-C_8-halogenoalkylthio having 1 to 5 halogen atoms, a C_2-C_8-alkenyloxy, a C_2-C_8-halogenoalkenyloxy having 1 to 5 halogen atoms, a C_3-C_8-alkinyloxy, a C_3-C_8-halogenoalkinyloxy having 1 to 5 halogen atoms, a C_3-C_8-cycloalkyl, a C_1-C_8-alkoxycarbonyl, a C_1-C_8-alkylsulphinyl, a C_1-C_8-alkylsulphonyl, a C_1-C_8-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C_1-C_8-halogenoalkylsulphonyl having 1 to 5 halogen atoms or a C_1-C_6-alkoximino-C_1-C_6-alkyl;
- R^1 may be a hydrogen atom, a cyano group, a nitro group, a formyl group, a C_1-C_6-alkyl, a C_1-C_6-alkylcarbamoyl, a C_2-C_6-alkenyl, a C_2-C_6-alkynyl, a C_1-C_6-halogenoalkyl having 1 to 7 halogen atoms, a C_1-C_6-alkoxy-C_1-C_6-alkyl, a C_1-C_6-cyanoalkyl, a C_1-C_6-aminoalkyl, a C_3-C_6-cycloalkyl, a C_1-C_6-alkylcarbonyl, a C_1-C_6-halogenalkylcarbonyl having 1 to 5 halogen atoms, a C_1-C_6-alkoxy-C_1-C_6-alkylcarbonyl, a C_1-C_6-alkylsulfanyl or a C_1-C_6-halogenalkylsulfanyl having 1 to 5 halogen atoms;

- n may be 1,2,3 3 or 4; and
- Het represents an optionally substituted 5-, 6- or 7-membered heterocycle with one, two or three heteroatoms which may be the same or different; Het being linked by a carbon atom.

2. A compound according to claim 1, **characterised in that** X represents an oxygen atom.

3. A compound according to claim 1 or 2, **characterised in that** n is 1 or 2.

4. A compound according to claim 3, **characterised in that** n is 2.

5. A compound according to any of the claims 1 to 4, **characterised in that** at least one of the Y substituent is a halogen atom, a C_1-C_8-alkyl, a C_1-C_6-halogenoalkyl having 1 to 5 halogen atoms or a C_1-C_6-alkoxy-C_1-C_6-alkyl-carbonyl.

6. A compound according to claim 5, **characterised in that** at least one of the Y substituent is a C_1-C_6-halogenoalkyl having 1 to 5 halogen atoms.

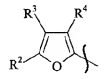
7. A compound according to claim 6, **characterised in that** at least one of the Y substituent is $-CF_3$.

8. A compound according to any of the claims 1 to 7, **characterised in that** the 2-pyridyl is substituted in 3- and/or in 5-position.

9. A compound according to claim 7 and 8, **characterised in that** the 2-pyridyl is substituted in 5-position by $-CF_3$.

10. A compound according to any of the claims 1 to 9, **characterised in that** Het is a five membered ring heterocycle.

11. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (II)

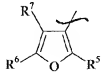


(II)

in which :

- R^2 and R^3 may be the same or different and may be a hydrogen atom, a halogen atom, an amino group, a nitro group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^4 may be a hydrogen atom, a halogen atom, a nitro group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

12. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (III)

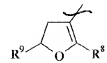


(III)

in which :

- R^5 may be a hydrogen atom, a halogen atom , a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^6 and $R7^6$ may be the same or different and may be a hydrogen atom, a halogen atom, an amino group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

13. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (IV)

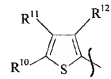


(IV)

in which :

- R^8 may be a halogen, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^9 may be a hydrogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

14. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (V)



(V)

In which :

- R^{10} and R^{11} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-alkylsulphonyl a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl or a pyridyl otpionally substituted by a halogen atom or a C_1-C_4-alkyl; and
- R^{12} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms.

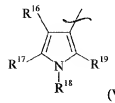
15. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (VI)

(VI)

in which :

- R^{13} and R^{14} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-alkyloxy or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{15} may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

16. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (VII)

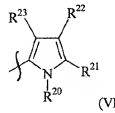


(VII)

in which :

- R^{16} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;
- R^{17} and R^{19} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{18} may be a hydrogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a hydroxy-C_1-C_4-alkyl, a C_1-C_4-alkylsulphonyl, a di(C_1-C_4-alkyl)amino-sulphonyl, a C_1-C_6-alkylcarbonyl, a phenylsulphonyl optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a benzoyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

17. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (VIII)

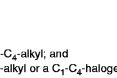


(VIII)

in which :

- R^{20} may be a hydrogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a hydroxy-C_1-C_4-alkyl, a C_1-C_4-alkylsulphonyl, a di(C_1-C_4-alkyl)amino-sulphonyl, a C_1-C_6-alkylcarbonyl, a phenylsulphonyl optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a benzoyl optionally substituted by a halogen atom or a C_1-C_4-alkyl; and
- R^{21}, R^{22} and R^{23} may be the same or different and may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a C_1-C_4-alkylcarbonyl.

18. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (IX)

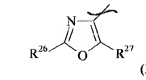


(IX)

in which:

- R^{24} may be a hydrogen atom or a C_1-C_6-alkyl; and
- R^{25} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

19. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (X)

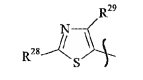


(X)

in which :

- R^{26} may be a hydrogen atom or a C_1-C_4-alkyl; and
- R^{27} may be a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

20. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XI)

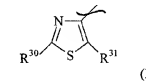


(XI)

in which:

- R^{28} may be a hydrogen atom, a halogen atom, an amino group, a cyano group, a C_1-C_4-alkylamino, a di-(C_1-C_4-alkyl)amino, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl; and
- R^{29} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

21. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XII)

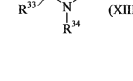


(XII)

in which:

- R^{30} may be a hydrogen atom, a halogen atom, an amino group, a cyano group, a C_1-C_4-alkylamino, a di-(C_1-C_4-alkyl)amino, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{31} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

22. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XIII)

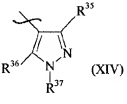


(XIII)

in which :

- R^{32} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_3-C_6-cycloalkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl group or an aminocarbonyl-C_1-C_4-alkyl;
- R^{33} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-alkoxy or a C_1-C_4-alkylthio; and
- R^{34} may be a hydrogen atom, a phenyl, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C_1-C_4-alkyl, a C_2-C_6-alkenyl, a C_3-C_6-cycloalkyl, a C_1-C_4-alkylthio-C_1-C_4-alkyl, a C_1-C_4-halogenoalkylthio-C_1-C_4-alkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl or a C_1-C_4-halogenoalkoxy-C_1-C_4-alkyl having 1 to 5 halogen atoms.

23. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XIV)

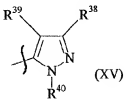


(XIV)

in which:

- R^{35} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_3-C_6-cycloalkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl or an aminocarbonyl-C_1-C_4-alkyl;
- R^{36} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms or a C_1-C_4-alkylthio; and
- R^{37} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C_1-C_4-alkyl, a C_2-C_6-alkenyl, a C_3-C_6-cycloalkyl, a C_1-C_4-alkylthio-C_1-C_4-alkyl, a C_1-C_4-halogenoalkylthio-C_1-C_4-alkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a C_1-C_4-halogenoalkoxy-C_1-C_4-alkyl having 1 to 5 halogen atoms or a phenyl optionally substituted by a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-alkoxyalkyl or a nitro group.

24. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XV)



(XV)

in which :

- R^{38} may be a hydrogen atom, a halogen atom, a cyano group, a nitro group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_3-C_6-cycloalkyl, a C_1-C_4-alkoxy, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, an aminocarbonyl, or an aminocarbonyl-C_1-C_4-alkyl;
- R^{39} may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;
- R^{40} may be a hydrogen atom, a phenyl, a benzyl, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a hydroxy-C_1-C_4-alkyl, a C_2-C_6-alkenyl, a C_3-C_6-cycloalkyl, a C_1-C_4-alkylthio-C_1-C_4-alkyl, a C_1-C_4-

halogenoalkylthio-C_1-C_4-alkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy-C_1-C_4-alkyl, a C_1-C_4-halogenoalkoxy-C_1-C_4-alkyl having 1 to 5 halogen atoms.

25. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XVI)

(XVI)

in which R^{41} and R^{42} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

26. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XVII)

(XVII)

in which R^{43} and R^{44} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a heterocyclyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

27. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XVIII)

(XVIII)

in which R^{45} and R^{46} may be the same or different and may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

28. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XIX)

(XIX)

in which R^{47} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

29. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XX)

(XX)

in which:

- R^{48} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{49} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, or a phenyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

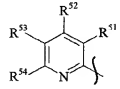
30. A compound according to claim 10, **characterised in that** Het represents a heterocycle of the general formula (XXI)

(XXI)

in which R^{50} may be a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

31. A compound according to any of the claims 1 to 9, **characterised in that** Het is a six membered heterocycle.

32. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXII)

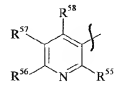


(XXII)

in which :

- R^{51} may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms or a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms;
- R^{52}, R^{53} and R^{54}, which may be the same or different, may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylsulphinyl or a C_1-C_4-alkylsulphonyl.

33. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXIII)

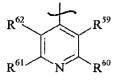


(XXIII)

in which :

- R^{55} may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_5-alkylthio, a C_2-C_6-alkenylthio a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a phenyloxy optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a phenylthio optionally substituted by a halogen atom or a C_1-C_4-alkyl;
- R^{56}, R^{57} and R^{58}, which may the same or different, may be a hydrogen atom, a halogen atom, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylsulphinyl, a C_1-C_4-alkylsulphonyl, a N-morpholine optionally substituted by a halogen atom or a C_1-C_4-alkyl, or a thienyl optionally substituted by a halogen atom or a C_1-C_4-alkyl.

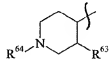
34. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXIV)



(XXIV)

in which R^{59}, R^{60}, R^{61} and R^{62}, which may be the same or different, may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms, a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_4-alkylsulphinyl or a C_1-C_4-alkylsulphonyl.

35. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXV)

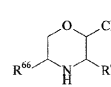


(XXV)

in which:

- R^{63} may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;
- R^{64} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_6-alkoxycarbonyl, a benzyl optionally substituted by 1 to 3 halogen atoms, a benzyloxycarbonyl optionally substituted by 1 to 3 halogen atoms or a heterocyclyl.

36. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXVI)

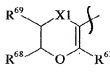


(XXVI)

in which:

- R^{65} may be a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_4-alkoxy, a C_1-C_4-alkylthio, a C_1-C_4-halogenoalkylthio having 1 to 5 halogen atoms or a C_1-C_4-halogenoalkoxy having 1 to 5 halogen atoms;
- R^{66} may be a hydrogen atom, a C_1-C_4-alkyl, a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms or a benzyl.

37. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXVII)



(XXVII)

in which :

- X^1 may be a sulphur atom, -SO-, $-SO_2-$ or $-CH_2-$;
- R^{67} may be a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms; and
- R^{68} and R^{69} may be the same or different and may be a hydrogen atom or a C_1-C_4-alkyl.

38. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXVIII)

(XVIII)

in which:

- R^{70} may be a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms;

39. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXIX)

(XXIX)

in which :

- R^{71} may be a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

40. A compound according to claim 31, **characterised in that** Het represents a heterocycle of the general formula (XXX)

(XXX)

in which R^{72} may be a hydrogen atom, a halogen atom, a C_1-C_4-alkyl or a C_1-C_4-halogenoalkyl having 1 to 5 halogen atoms.

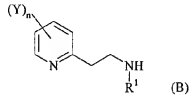
41. A process for the preparation of compound of general formula (I) according to any of the claims 1 to 40, which comprises reacting a carboxylic acid derivative of the general formula (A)

(A)

in which:

- Het is as defined above;
- G may be a halogen atom, a hydroxy group or a C_1-C_8-alkoxy;

with a 2-pyridine derivative of general formula (B)



(B)

in which Y, R^1 and n are as defined in claim 1;
in the presence of a catalyst if G is a hydroxy or a C_1-C_6-alkoxy group, or in the presence of an acid binder if G is a halogen atom.

42. A process according to claim 41, **characterised in that** the catalyst may be dicyclohexylcarbodiimide, N,N'-carbonyldimidazole, bromotripyrrolidinophosphonium hexafluorophosphate or trimethylaluminium.

43. A process according to claim 42, **characterised in that** the acid binder may be a carbonate, an aqueous alkali or a tertiary amine.

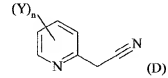
44. A process for the preparation of compound of general formula (I) according to any of the claims 1 to 40, which comprises reacting a carboxylic acid anhydride derivative of general formula (C)

(C)

in which:

- Het is as defined above;
- W may be defined as Het or a C_1-C_6-alkyl;

with a 2-pyridine derivative of the formula (D)

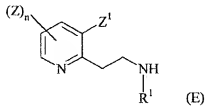


(D)

in which R^1 and n are each as defined in claim 1;
in the presence of a reducing agent .

45. A process according to claim 44, **characterised in that** the reducing agent is H_2 or $NaBH_4$.

46. Compound of general formula (E) :



(E)

in which :

- Z may be the same or different and may be a halogen atom, a nitro group, a cyano group, a hydroxy, a carboxyl group, a C_1-C_8-alkyl, a C_1-C_8-halogenoalkyl having 1 to 5 halogen atoms, a C_1-C_8-halogenoalkoxy having 1 to 5 halogen atoms, a C_1-C_8-alkylthio, a C_1-C_8-halogenoalkylthio having 1 to 5 halogen atoms, a C_2-C_8-alkenyloxy, a C_2-C_8-halogenoalkenyloxy having 1 to 5 halogen atoms, a C_3-C_8-alkinyloxy, a C_3-C_8-halogenoalkinyloxy having 1 to 5 halogen atoms, a C_3-C_8-cycloalkyl, a C_1-C_8-alkoxycarbonyl, a C_1-C_8-alkylsulphinyl, a C_1-C_8-alkylsulphonyl, a C_1-C_8-halogenoalkylsulphinyl having 1 to 5 halogen atoms, a C_1-C_8-halogenoalkylsulphonyl having 1 to 5 halogen atoms or a C_1-C_8-alkoximino-C_1-C_8-alkyl;
- Z^1 may be a halogen atom or a C_1-C_8-alkyl;
- R^1 and n are as defined in claim 1.

47. Fungicidal composition comprising an effective amount of a compound according to any of the claims 1 to 40 and an agriculturally acceptable support.

48. Fungicidal composition according to claim 47 further comprising a surfactant.

49. Fungicidal composition according to either of claims 47 and 48, comprising from 0.05% to 99% by weight of active material.

50. Method for preventively or curatively combating the phytopathogenic fungi of crops, **characterised in that** an effective and non-phytotoxic amount of a composition according to any of the claims 46 to 48 is applied to the plant seeds or to the plant leaves and/or to the fruits of the plants or to the soil in which the plants are growing or in which it is desired to grow them.

European Patent Office

EUROPEAN SEARCH REPORT

Application Number
EP 03 35 6029

DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
X	WO 01 11965 A (AVENTIS CROPSCIENCE GMBH ;BRIGGS GEOFFREY GOWER (FR); STEELE CHRIS) 22 February 2001 (2001-02-22) * Pages 47-48 * * claim 1 * ---	1-50	C07D405/12 C07D409/12 C07D413/12 C07D401/12 C07D417/12 A01N43/40
X	WO 00 21934 A (COX DAVID ;ASTRAZENECA UK LTD (GB); CONNOLLY STEPHEN (GB); ASTRAZE) 20 April 2000 (2000-04-20) * Example 6, page 18 * * claim 1 * ---	1-50	
X	GB 1 386 965 A (ARON MD SAMUEL J) 12 March 1975 (1975-03-12) * claim 1 * ---	1-50	
X	GB 1 316 667 A (XEROX CORP) 9 May 1973 (1973-05-09) * claim 1; examples 1,2 * ---	1-50	
X	EP 0 442 497 A (SUMITOMO PHARMA) 21 August 1991 (1991-08-21) * claim 1 * -----	1-50	TECHNICAL FIELDS SEARCHED (Int.Cl.7) C07D A01N

The present search report has been drawn up for all claims

Place of search	Date of completion of the search	Examiner
MUNICH	21 May 2003	Baston, E

CATEGORY OF CITED DOCUMENTS

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21-05-2003

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0111965 A	22-02-2001	AU 7278500 A	13-03-2001
		BR 0013371 A	07-05-2002
		CN 1370047 T	18-09-2002
		WO 0111965 A1	22-02-2001
		EP 1204323 A1	15-05-2002
		JP 2003506465 T	18-02-2003
WO 0021934 A	20-04-2000	AU 1424200 A	01-05-2000
		WO 0021934 A1	20-04-2000
GB 1386965 A	12-03-1975	AU 467216 B2	04-10-1973
		AU 4050172 A	04-10-1973
		BE 780552 A1	11-09-1972
		DE 2213843 A1	12-10-1972
		FR 2132000 A5	17-11-1972
		IL 38977 A	10-02-1975
		JP 53017595 B	09-06-1978
		NL 7204199 A	03-10-1972
		US 3935313 A	27-01-1976
		ZA 7201728 A	27-12-1972
GB 1316667 A	09-05-1973	BE 750931 A1	26-11-1970
		DE 2025752 A1	03-12-1970
		FR 2048799 A5	19-03-1971
		NL 7007601 A	30-11-1970
EP 0442497 A	21-08-1991	AT 141272 T	15-08-1996
		CA 2036163 A1	15-08-1991
		DE 69121259 D1	19-09-1996
		DE 69121259 T2	09-01-1997
		EP 0442497 A1	21-08-1991
		ES 2093037 T3	16-12-1996
		JP 3005045 B2	31-01-2000
		JP 3264586 A	25-11-1991
		KR 173987 B1	01-02-1999
		US 5227376 A	13-07-1993

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